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Preparation and Performance of Controlled-release Tablets of Sasanquasaponin

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Abstract. The controlled-release tablets of sasanquasaponin (SQS) were prepared by using SQS, cornstalk and chitosan as the main drug and accessories. The effect of the particle size of cornstalk on release rate was studied. The thermal stability and wet stability of the controlled-release tablets of SQS were investigated. The controlled-release tablet of SQS was characterized by IR techniques. The releasing rate of the controlled-release tablets of SQS are controlled by controlling the particle size of cornstalk. The thermal stability and wet stability of the controlled-release tablets of SQS are good. The chemical bonds are formed among SQS, cornstalk and chitosan.

Introduction

The main components of cornstalk are cellulose, hemicellulose and lignin [1]. China is a large agricultural country, annual there are a large number of cornstalks output. Currently, cornstalks are mainly used for feed, and there are a large number of cornstalks were disposed of as waste. Cornstalk is green, non-toxic and low price material.

Sasanquasaponin (SQS) is a traditional Chinese herb's effective component obtained from camellia oleifera, which has a very abundant origin source in China and other Asian Countries [2, 3]. SQS is a kind of saponin, whose chemical structure is similar to those of ginseng saponin and other saponins [4]. SQS inhibited leukocyte adhesion to endothelial cells induced by heat-stress in HUVECs. This inhibitor effect of SQS was in a dose dependent manner [5, 6]. SQS has very wide and protective actions on cardiovascular system [7]. SQS is most likely to possess the capabilities of anti-oxygen free radicals and anti-lipoperoxidation to myocardialischemic injury induced by isoproterenol [8].Effects of sasanquasaponin on injury of endothelial cells: SQS has protective effects on injury of endothelial cells induced by hypoxia-reoxygenation and neutrophil adhesion [9]. It is known that SQS has multifunctional pharmacologicalactions including its anti-arrhythmia, anti-ischemia, anti-inflam-mation, and antihyperlipidemia, antihypertension and other cardioprotective effects. SQS is a hopeful candidate for development of new therapeutic drugs. When SQS was used as medicine of cardiovascular system, the half-life of SQS was short [3]. The controlled-release tablets of SQS were prepared with SQS to solve the problem of short the half-life of SQS [10-12].

In this paper, the controlled-release tablets of SQS were prepared by using SQS, cornstalk and chitosan as the main drug and accessories. The effect of the particle size of cornstalk on release rate was studied. The thermal stability and wet stability of the controlled-release tablets of SQS were investigated. The controlled-release tablet of SQS was characterized by IR techniques.

Experimental

The controlled-release tablets of SQS were prepared using the following procedure. Peeled cornstalks were cut into small pieces, smashed with swinging Chinese medicine grinder for 30s, screened, and got a different size range of cornstalks powder. Cornstalks powder were soaked in 2mol /L hydrochloric acid solution, stirred for 60min, filtered, washed to pH=7, dried at 60˚C. Weighed amount of SQS and chitosan to a beaker, added a small amount of water, got the mixing solution. The amount of treated cornstalks powder were soaked in the mixing solution to complete absorption, dried and got the controlled-release powders. Weighed amounts of the controlled-release powders, the controlled-release powders were putted into Φ =1.3mm model of stainless steel, pressed into tablet with 2MPa pressure. The composition of the controlled-release tablets of SQS were SQS : cornstalk : chitosan = 266.7 : 106.7 : 26.6 (mass ratio).

Release rate of the controlled-release tablets of SQS were determined as the Chinese Pharmacopoeia Appendix XD first method, dissolution test used installation of the second method [13]. The release rate of the controlled-release tablets of SQS were determined by SR8-Plus release rate tester. 500ml 0.1 mol/L hydrochloric acid solution of degasification was added in release cup, solution temperature was 37 ± 0.1˚C, mixing speed at 50r/min, took 3ml suction at 1h, 3h, 6h, 9h, 12h, respectively. The amount of SQS was determined with vanillin colorimetric method [11], calculated the cumulative release rate.

Infrared absorption spectra of SQS, cornstalk, chitosan and the controlled-release tablet of SQS were determined with a Japan Avatar 360 FTIR infra-red spectrophotomerer by potassium bromide disc method respectively.

Results and discussion

Fig. 1 shows the effects of particle size of cornstalk on release rate of the controlled-release tablets of SQS. As can be seen in Fig.1, the dissolution curves of the controlled-release tablet of SQS are different for different particle size of the cornstalk, when particle size of cornstalks were in 0.3～0.5mm, the release rate of the controlled-release tablet of SQS was 16.44% and 36.35% respectively, and does not meet the requirements of United States Pharmacopoeia at dissolution time being 3h and 6h respectively, the requirements of United States Pharmacopoeia are that the release rate of the controlled-release tablet are in 20～40%, 45～75% and >75%, respectively at dissolution time being 3h, 6h and 12h respectively. When particle size of cornstalks were in 0.105～0.15mm and 0.048～0.105mm respectively, the release rates of the controlled-release tablet of SQS meet the requirement of United States Pharmacopoeia, and the linearity of the dissolution curve is better than that of preparation controlled-release tablets of SQS with particle size of cornstalk being in 0.048～0.105mm. That sodium alginate and chitosan are used as accessories can prepare the controlled-release tablets of SQS [9], cornstalk can replace sodium alginate as accessories. Cornstalk is green material for being natural material. That cornstalks are used as accessories can save cost.

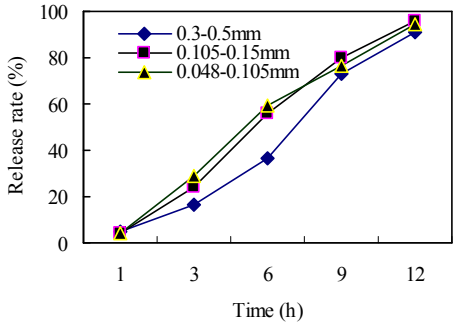

Fig.1 The effects of particle size of cornstalk on release rate of the controlled-release tablets of SQS

The controlled-release tablets of SQS were put in 60 ° C constant temperature drying oven and in a sealed container containing saturated solution of sodium chloride of 75% relative humidity respectively for 10 days, removed and observed. The release rates of the controlled-release tablets of SQS were determined. The appearances of controlled-release tablets of SQS were normal after thermal treatment and wet treatment respectively. Table 1 shows the results of thermal stability test. As can be seen in Table 1, the release rates of the controlled-release tablets of SQS change slightly after the controlled-release tablets of SQS being put in 60 ° C constant temperature drying oven for 10 days, which demonstrates that thermal stability of the controlled-release tablets of SQS is good. Table 2 shows the results of wet stability test. As can be seen in Table 2, the release rates of the controlled-release tablets of SQS change slightly after the controlled-release tablets of SQS being put in a sealed container containing saturated solution of sodium chloride of 75% relative humidity for 10 days, which demonstrates that wet stability of the controlled-release tablets of SQS is good.

Table 1 Thermal stability test results

Time	Q/%				
/d	1h	3h	6h	9h	12h
10	3.81	22.33	53.86	77.05	94.90
0	4.15	23.96	56.35	80.79	93.81

Table 2 Wet stability test results

Time	Q/%				
/d	1h	3h	6h	9h	12h
10	8.48	32.35	58.08	78.59	100.00
0	4.15	23.96	56.35	80.79	93.81

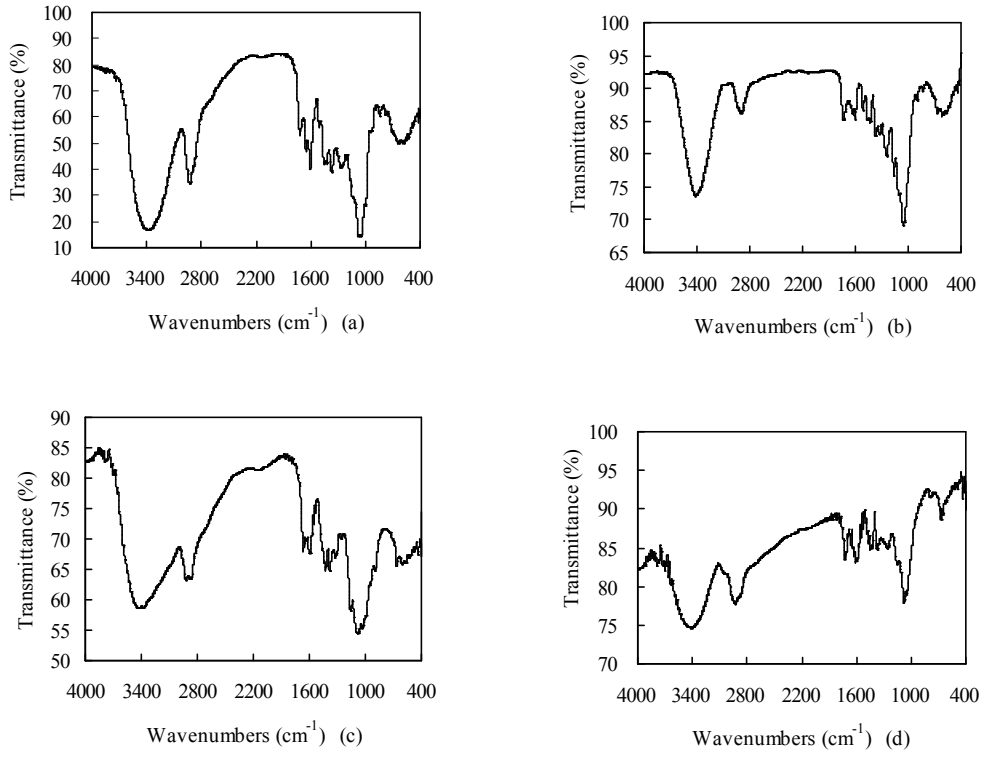

Fig.2 The FTIR spectra of (a) SQS, (b) cornstalk, (c) chitosan and (d) the controlled-release tablet of SQS

Table 3 The locations of infrared absorption spectra of the peaks (cm^{-1})

SQS	cornstalk	chitosan	controlled-release tablet of SQS	SQS	cornstalk	chitosan	controlled-release tablet of SQS
3417.94	3432.84	3418.59	3411.80	1263.10	1257.42	1324.92	1372.14
2931.05	2917.91	2922.04	2934.53	1153.26	1166.78	1156.09	1155.21
1724.85	1741.49	1661.97	1724.85	1077.26	1060.71	1082.26	1077.80
1612.65	1608.42	1595.74	1606.49	1046.62	/	1031.78	1045.28
/	1465.71	1427.01	1531.21	787.70	923.78	/	/
1388.90	1375.07	1380.00	1416.13	636.05	624.85	665.89	668.93

Fig.2 shows the FTIR spectra of SQS, cornstalk, chitosan and the controlled-release tablet of SQS, the locations of infrared absorption spectra of the peaks are listed in Table 3. According to Fig.2 (a), there are C-H vibration peak at 2931.05 cm^{-1} and 1388.90 cm^{-1}, vibration peak of carbonyl at 1724.85 cm^{-1}, C-O-C vibration peak at 1046.62 cm^{-1}, vibration peak of pyran ring at 636.05 cm^{-1}. According to Fig.2 (b), there are C-H vibration peak at 2917.91 cm^{-1} and 1375.07 cm^{-1}, O-H vibration peak in 1465.71~1375.07 cm^{-1}, vibration peak of pyran ring at 624.85 cm^{-1}. According to Fig.2 (c), there are C-H vibration peak at 2922.04 cm^{-1} and 1380.00 cm^{-1}, vibration peaks of amide at 1661.97 cm^{-1} and 1595.74 cm^{-1}, vibration peaks of ether-oxygen bond at 1082.26 cm^{-1}. As can be seen in Table 3, the locations of infrared absorption spectra of the peaks of the controlled- release tablet of SQS at 2934.53，1531.21，1416.13，1372.14, 668.93 and 3411.80 cm^{-1} have occurred “blue moving” and “red moving”, respectively, absorption peaks of SQS at 787.70 cm^{-1} and absorption peaks of cornstalk at 923.78 cm^{-1} disappear in FTIR spectrum of the controlled-release tablet of SQS, which demonstrates that chemical bonds are formed among SQS, cornstalk and chitosan.

Conclusions

The controlled-release tablets of SQS are prepared by using SQS, cornstalk and chitosan as the main drug and accessories. The releasing rate of the controlled-release tablets of SQS are controlled by controlling the particle size of cornstalk. The thermal stability and wet stability of the controlled-release tablets of SQS are good. The chemical bonds are formed among SQS, cornstalk and chitosan.

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